

# PATENT COOPERATION TREATY

## PCT

### INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY


(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

REC'D 03 FEB 2006

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Applicant's or agent's file reference PC26188A		<b>FOR FURTHER ACTION</b>		See Form PCT/PEA/416
International application No. PCT/IB2005/000258		International filing date (day/month/year) 01.02.2005	Priority date (day/month/year) 11.02.2004	
International Patent Classification (IPC) or national classification and IPC C07C235/48, C07D309/06, C07D231/14, C07D213/82, C07D263/58, A61K31/423, A61K31/166, A61K31/351, A61K31/415, A61K31/44, A61P25/16, A61P25/28				
Applicant PFIZER JAPAN, INC. et al.				
<p>1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 6 sheets, including this cover sheet.</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p> <p>a. <input type="checkbox"/> sent to the applicant and to the International Bureau) a total of sheets, as follows:</p> <p><input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).</p> <p><input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</p> <p>b. <input type="checkbox"/> (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) , containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</p>				
<p>4. This report contains indications relating to the following items:</p> <p><input checked="" type="checkbox"/> Box No. I Basis of the opinion</p> <p><input type="checkbox"/> Box No. II Priority</p> <p><input checked="" type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p><input type="checkbox"/> Box No. IV Lack of unity of invention</p> <p><input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p><input type="checkbox"/> Box No. VI Certain documents cited</p> <p><input type="checkbox"/> Box No. VII Certain defects in the international application</p> <p><input checked="" type="checkbox"/> Box No. VIII Certain observations on the international application</p>				
Date of submission of the demand 17.03.2005		Date of completion of this report 01.02.2006		
Name and mailing address of the international preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465		Authorized Officer Grammenoudi, S Telephone No. +49 89 2399-		



**INTERNATIONAL PRELIMINARY REPORT  
ON PATENTABILITY**

International application No.  
PCT/IB2005/000258

**Box No. I Basis of the report**

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
  - ☐ This report is based on translations from the original language into the following language , which is the language of a translation furnished for the purposes of:
    - ☐ international search (under Rules 12.3 and 23.1(b))
    - ☐ publication of the international application (under Rule 12.4)
    - ☐ international preliminary examination (under Rules 55.2 and/or 55.3)
2. With regard to the **elements**\* of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

**Description, Pages**

1-204 as originally filed

**Claims, Numbers**

1-20 as originally filed

- ☐ a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing

3. ☐ The amendments have resulted in the cancellation of:
  - ☐ the description, pages
  - ☐ the claims, Nos.
  - ☐ the drawings, sheets/figs
  - ☐ the sequence listing (*specify*):
  - ☐ any table(s) related to sequence listing (*specify*):
4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
  - ☐ the description, pages
  - ☐ the claims, Nos.
  - ☐ the drawings, sheets/figs
  - ☐ the sequence listing (*specify*):
  - ☐ any table(s) related to sequence listing (*specify*):

\* If item 4 applies, some or all of these sheets may be marked "superseded."

**INTERNATIONAL PRELIMINARY REPORT  
ON PATENTABILITY**

International application No.  
PCT/IB2005/000258

**Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability**

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:
- ☐ the entire international application,
  - ☒ claims Nos. 18,19  
because:
    - ☒ the said international application, or the said claims Nos. 18,19 relate to the following subject matter which does not require an international preliminary examination (specify):  
**see separate sheet**
    - ☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):
    - ☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.
    - ☐ no international search report has been established for the said claims Nos.
    - ☐ the nucleotide and/or amino acid sequence listing does not comply with the standard provided for in Annex C of the Administrative Instructions in that:
      - the written form ☐ has not been furnished
      - ☐ does not comply with the standard
      - the computer readable form ☐ has not been furnished
      - ☐ does not comply with the standard
    - ☐ the tables related to the nucleotide and/or amino acid sequence listing, if in computer readable form only, do not comply with the technical requirements provided for in Annex C-*bis* of the Administrative Instructions.
  - ☐ See separate sheet for further details

**INTERNATIONAL PRELIMINARY REPORT  
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International application No.  
PCT/IB2005/000258

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**Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

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1. Statement

Novelty (N)	Yes: Claims	1-20
	No: Claims	
Inventive step (IS)	Yes: Claims	1-20
	No: Claims	
Industrial applicability (IA)	Yes: Claims	1-17,20
	No: Claims	18,19

2. Citations and explanations (Rule 70.7):

**see separate sheet**

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**Box No. VIII Certain observations on the international application**

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The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

**see separate sheet**

D1= WO-A-02/80928

### SECTION III

1. For the assessment of present claims 18 and 19 on the question whether it is industrially applicable, no unified criteria exist in the PCT Contracting States. The patentability can also be dependent upon the formulation of the claims. The EPO, for example, does not recognize as industrially applicable the subject-matter of claims to the use of a compound in medical treatment, but may allow, however, claims to a known compound for first use in medical treatment and the use of such a compound for the manufacture of a medicament for a new medical treatment.

### SECTION V

1. The present application relates to amide derivatives, their use and compositions comprising them.
2. Document D1 cited in the description on page 2, second paragraph is considered to represent the closest state of the art. It discloses N-substituted nonaryl heterocycloamidyl compounds of formula I which act as antagonists of the NR2B sub-unit of N-methyl-D-aspartate ("NMDA") receptors and are useful for relieving pain (see D1, claim 1, page 31, lines 5-17). The problem to be solved by the present application with respect to this prior art is to provide alternative NMDA NR2B antagonists.
3. The compounds according to present claim 1 differ from the known nonaryl heterocycloamidyl derivatives in that ring members A and B do not represent nitrogen. There is no teaching in the art which would have prompted the skilled person to contemplate such a structural modification of the compounds according to D1 in order to obtain further NMDA NR2B antagonists.

Accordingly, the subject-matter of claim 1 as well as that of claim 14 (pharmaceutical compositions including compounds of claim 1), 15 (compounds of claim 1 for use as medicaments), 16 (use of compounds of claim 1 for the manufacture of medicaments to treat a disease), 18 (methods of treating mammals with compounds of claim 1)

and 20 (combinations comprising compounds of claim 1 with other pharmaceutically active agents) meets the requirements of Article 33(2) and (3) PCT.

4. Claims 2-13, 17 and 19 concern particular embodiments of claims 1, 16 and 18 respectively. Thus, they also fulfil the criteria of Art. 33(2) and (3) PCT.

#### SECTION VIII

1. The term "about" in connection with ranges (cf. page 31, lines 11-13) as well as the phrase "or the like" (cf. page 1, line 10; page 15, line 7 and page 30, last para-graph) render the scope of the application unclear (Art. 6 PCT).
2. The number 0208928 on page 2, line 5 is obviously incorrect and should have been replaced by 02080928 (cf. D1) in order to establish clarity (Art. 6 PCT).